

## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	1	10/564829	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/13 15:33
L2	6793	546/221 or 546/192 or 514/89 or 514/317 or 514/326	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/13 15:35
L3	532	I2 and prostaglandin	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/13 15:36
L4	39	I3 and piperidone	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/13 15:39

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	MAY 01	New CAS web site launched
NEWS	3	MAY 08	CA/CAPLUS Indian patent publication number format defined
NEWS	4	MAY 14	RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS	5	MAY 21	BIOSIS reloaded and enhanced with archival data
NEWS	6	MAY 21	TOXCENTER enhanced with BIOSIS reload
NEWS	7	MAY 21	CA/CAPLUS enhanced with additional kind codes for German patents
NEWS	8	MAY 22	CA/CAPLUS enhanced with IPC reclassification in Japanese patents
NEWS	9	JUN 27	CA/CAPLUS enhanced with pre-1967 CAS Registry Numbers
NEWS	10	JUN 29	STN Viewer now available
NEWS	11	JUN 29	STN Express, Version 8.2, now available
NEWS	12	JUL 02	LEMBASE coverage updated
NEWS	13	JUL 02	LMEDLINE coverage updated
NEWS	14	JUL 02	SCISEARCH enhanced with complete author names
NEWS	15	JUL 02	CHEMCATS accession numbers revised
NEWS	16	JUL 02	CA/CAPLUS enhanced with utility model patents from China
NEWS	17	JUL 16	CAPLUS enhanced with French and German abstracts
NEWS	18	JUL 18	CA/CAPLUS patent coverage enhanced
NEWS	19	JUL 26	USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS	20	JUL 30	USGENE now available on STN
NEWS	21	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS	22	AUG 06	BEILSTEIN updated with new compounds
NEWS	23	AUG 06	FSTA enhanced with new thesaurus edition
NEWS	24	AUG 13	CA/CAPLUS enhanced with additional kind codes for granted patents
NEWS	25	AUG 20	CA/CAPLUS enhanced with CAS indexing in pre-1907 records
NEWS	26	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS	27	AUG 27	USPATOLD now available on STN
NEWS	28	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS	29	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS	30	SEP 13	FORIS renamed to SOFIS
NEWS	31	SEP 13	INPADOCDB: New SDI frequency MONTHLY available now
NEWS EXPRESS	05 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 05 SEPTEMBER 2007.		
NEWS HOURS	STN Operating Hours Plus Help Desk Availability		
NEWS LOGIN	Welcome Banner and News Items		
NEWS IPC8	For general information regarding STN implementation of IPC 8		

Enter NEWS followed by the item number or name to see news on that

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 15:45:08 ON 13 SEP 2007

=> file registry

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 15:45:26 ON 13 SEP 2007

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STRUCTURE FILE UPDATES: 12 SEP 2007 HIGHEST RN 946827-72-1

DICTIONARY FILE UPDATES: 12 SEP 2007 HIGHEST RN 946827-72-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

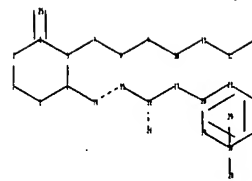
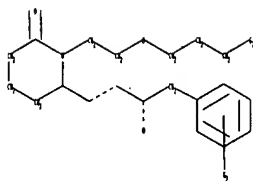
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10-564829 gen.str



```

chain nodes :
7 8 9 10 11 12 13 14 15 16 17 25 26 28
ring nodes :
1 2 3 4 5 6 18 19 20 21 22 23
chain bonds :
4-25 5-7 6-14 7-8 8-9 9-10 10-11 11-12 12-13 14-15 15-16 16-17 16-26
17-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 18-19 18-23 19-20 20-21 21-22 22-23
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-25 5-6 12-13 14-15 16-26
exact bonds :
5-7 6-14 7-8 8-9 9-10 10-11 11-12 15-16 16-17 17-20
normalized bonds :
18-19 18-23 19-20 20-21 21-22 22-23

```

G1:C,S,P

G2:C,O,N

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:Atom
19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 25:CLASS 26:CLASS 28:CLASS 29:Atom

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L1 STRUCTURE UPLOADED

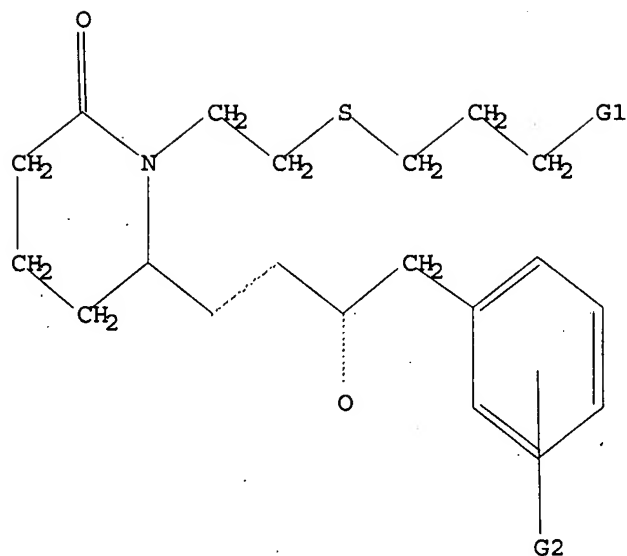
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=> d l1
L1 HAS NO ANSWERS

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L1

STR



G1 C,S,P

G2 C,O,N

Structure attributes must be viewed using STN Express query preparation.

=&gt; s l1 sss full

FULL SEARCH INITIATED 15:47:01 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 333 TO ITERATE

100.0% PROCESSED 333 ITERATIONS

1. ANSWERS

SEARCH TIME: 00.00.01

L2

1 SEA SSS FUL L1

=&gt; file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

173.45

173.66

FILE 'CAPLUS' ENTERED AT 15:47:49 ON 13 SEP 2007

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FILE COVERS 1907 - 13 Sep 2007 VOL 147 ISS 12

FILE LAST UPDATED: 12 Sep 2007 (20070912/ED)

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<http://www.cas.org/infopolicy.html>

=> s l2

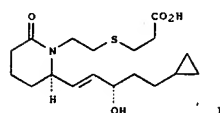
L3                    2 L2

=> d l2 1-2 ibib abs hitstr

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:n

=> d l3 1-2 ibib abs hitstr

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2005:378879 CAPLUS  
 DOCUMENT NUMBER: 143:59790  
 TITLE: Lactams as prostanoicd receptor ligands. Part 4: 2-Piperidones as selective EP4 receptor agonists  
 AUTHOR(S): Elworthy, Todd R.; Brill, Emma R.; Caires, Christopher  
 CORPORATE SOURCE: C.; Kim, Woongki; Lach, Leang K.; Tracy, Jahari  
 Roche Palo Alto, Department of Medicinal Chemistry, Palo Alto, CA, 94304-1397, USA  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(10), 2523-2526  
 CODEN: BMCLEB; ISSN: 0960-894X  
 PUBLISHER: Elsevier B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 143:59790  
 GI

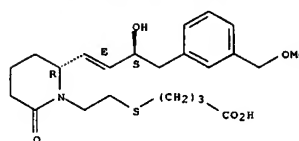


AB 2-Piperidones, e.g., I, were prepared bearing heptanoic acid or a thioether.  
 heptanoic acid at the 1-position as well as appropriately substituted at the 6-position to mimic the structure of prostaglandins. The stereochem. purity at the 6-position was determined to be ≥95% ee for an advanced synthetic intermediate. The 2-piperidones were identified as potent agonists at the EP4 prostanoicd receptor. They displayed a high affinity (K<sub>i</sub> 5-130 nM) at EP4 and subtype selectivity.

IT 724705-74-2P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (stereoselective preparation and EP4 receptor binding affinity of piperidones starting from aminoacidic acid using resolution as the key step)  
 RN 724705-74-2 CAPLUS  
 CN Butanoic acid, 4-[[2-[(2R)-2-[(1E,3S)-3-hydroxy-4-[3-(methoxymethyl)phenyl]-1-butenyl]-6-oxo-1-piperidinyl]ethyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

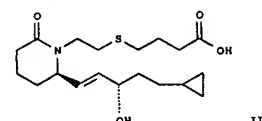
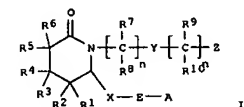


REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2004:589253 CAPLUS  
 DOCUMENT NUMBER: 141:123513  
 TITLE: 2-piperidone derivatives as prostaglandin agonists  
 INVENTOR(S): Elworthy, Todd Richard  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 26 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004142969	A1	20040722	US 2004-754117	20040108
AU 2004203905	A1	20040729	AU 2004-203905	20040102
CA 2511255	A1	20040729	CA 2004-2511255	20040102
WO 2004063158	A1	20040729	WO 2004-EP8	20040102
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
EP 1585729	A1	20051019	EP 2004-700041	20040102
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004006717	A	20051220	BR 2004-6717	20040102
CN 1735597	A	20060215	CN 2004-8002071	20040102
JP 200615015	T	20060518	JP 2005-518636	20040102
MX 2005PA07341	A	20050930	MX 2005-PA7341	20050706
PRIORITY APPLN. INFO.:			US 2003-439152P	P 20030110
			WO 2004-EP8	W 20040102

OTHER SOURCE(S): MARPAT 141:123513  
 GI



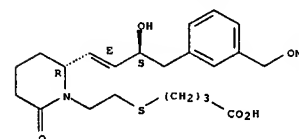
AB 2-Piperidone derivs. I (n = 0-4; A = alkyl, aryl, heteroaryl, arylalkyl,

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

arylalkyl, cycloalkylalkyl, aryloxyalkyl; E = CHOH, or C(O); Y = CH<sub>2</sub>, CH=CH, arylene, heteroarylene, O, S(O)<sub>p</sub> (p = 0-2), NR<sub>a</sub> (R<sub>a</sub> = H, alkyl); Z = CH<sub>2</sub>OH, CHO, tetrazole-5-yl, COOR<sub>b</sub> (R<sub>b</sub> = H, alkyl); R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> = H, alkyl and pharmaceutically acceptable salts, solvates, prodrugs, single isomers or racemic or non-racemic mixt. of isomers thereof were prepd. as selective prostaglandin EP4 agonists for the treatment of assocd. diseases. Thus, 6R-(1-ethoxy-ethoxymethyl)piperidin-2-one was treated with NaH, and 2-bromoethanol triisopropylsilyl ether, followed by pyridinium p-toluene sulfonic acid to give the alc. The alc. was oxidized to the aldehyde using Swern conditions, and treatment of the aldehyde with (4-cyclopropyl-2-oxobutyl)phosphonic acid di-Me ester gave the alkene. Redn. of the ketone using (R)-2-methyl-CBS-oxazaborolidine followed by deprotection of the silyl ether gave the primary alc. Treatment of the alc. with γ-thiobutyrolactone gave the Me ester which was treated with NaOH to give the desired II. The invention also provides methods for prep., compns. comprising, and methods for using compds. of formula I.

IT 724705-74-2P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 2-piperidone derivs. as selective prostaglandin EP4 agonists for the treatment of associated diseases)  
 RN 724705-74-2 CAPLUS  
 CN Butanoic acid, 4-[[2-[(2R)-2-[(1E,3S)-3-hydroxy-4-[3-(methoxymethyl)phenyl]-1-butenyl]-6-oxo-1-piperidinyl]ethyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



=> log hold

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

13.36

187.02

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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-1.56

SESSION WILL BE HELD FOR 120 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 15:51:37 ON 13 SEP 2007